FILE 'REGISTRY' ENTERED AT 07:29:49 ON 16 MAY 2003

L1	0	S	MOLDOSIMINE/CN
L2	1	S	MOLSIDOMINE/CN
L3	1	S	NITROGLYCERIN/CN
			SELECT L3 1- CHEM

FILE 'CAPLUS' ENTERED AT 07:31:29 ON 16 MAY 2003

L4	136795	S	E1-124
L5	23559	S	CYCLODEXTRIN
L6	1781782	S	CONTROL?
L7	76644	S	SUSTAIN?
L8	1841381	S	L6 OR L7
L9	553508	S	RELEAS?
L10	104447	S	L9 (L) L8
L11	17	S	L10 AND L5 AND L4
L12	70588	S	PROSTAGLANDIN
L13	9786	S	PROSTACYCLIN
L14	6337	S	PROSTANOID
L15	77546	S	L12 OR L13 OR L14
L16	16	S	L15 AND L5 AND L10
L17	1396620	S	ALPHA OR .ALPHA.
L18	7	S	L16 AND L17

L11 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:510677 CAPLUS
DOCUMENT NUMBER: 135:293831
TITLE: Preparation and characterization of novel peracetylated **cyclodextrin** complexes
AUTHOR(S): Buchanan, C. M.; Dixon, D. W.; Offermann, R. J.; Szejtli, J.; Szente, L.; Vikmon, M.
CORPORATE SOURCE: Eastman Chemical Company, Kingsport, TN, USA
SOURCE: Cyclodextrin: From Basic Research to Market, International Cyclodextrin Symposium, 10th, Ann Arbor, MI, United States, May 21-24, 2000 (2000), 526-536. Wacker Biochem Corp.: Adrian, Mich.
CODEN: 69BFYD
DOCUMENT TYPE: Conference; (computer optical disk)
LANGUAGE: English
AB The pptn. method was used as a practical and reliable technique for prepg. inclusion complexes of triacetyl-**cyclodextrin** (CD) that would be applicable to various different types of guest compds. The oily multicomponent vanilla and lemon exts. could be converted to solid triacetyl-CD/fragrance complexes by the pptn. method using acetone as the common solvent. Complexes of triacetyl-CD and fragrances provided an acceptable component distribution and total fragrance load. An aq. alc. soln. was the preferred common solvent in prepg. triacetylated CD/ **nitroglycerin** (**NG**) and isosorbide 5-mononitrate complexes. X-ray diffractometry and thermoanal. investigations demonstrated complex formation in solid state. Complexation considerably reduced the volatility, thermal and storage stability problems of the complexed guests. Triacetyl-.beta.-CD could be considered as a multiparticulate **sustained release** carrier matrixes and may be useful for the prepn. of **sustained release** drug formulations.

L11 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:275378 CAPLUS
DOCUMENT NUMBER: 132:298866
TITLE: Active substance-releasing stents, their production and use for prophylaxis of restenosis
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19849464	A1	20000427	DE 1998-19849464	19981021

PRIORITY APPLN. INFO.: DE 1998-19849464 19981021
AB Metal or polymer stents are coated with a polymer to which **cyclodextrin** mols. are attached directly or via a linking mol. for binding an active substance. The active substance can be loaded on the **cyclodextrin** at any time from stent manuf. up to implantation, and a wide variety of active substances can be loaded onto stents in this manner for **sustained release** in vivo. Thus, a stent was dip-coated with a CHCl3 soln. of an NH2 group-contg. polyester-polyurethane to a thickness of 20 .mu.m after drying, and then exposed to an acid chloride deriv. of **cyclodextrin**. The coated stent was loaded with iloprost by immersion in an aq. soln. contg. 10 **ng**-100 .mu.g iloprost/mL, washed, and dried.

L11 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:196091 CAPLUS
DOCUMENT NUMBER: 131:35743
TITLE: **Release-control** of a water-soluble drug by film-forming trivaleryl .beta.-**cyclodextrin**
AUTHOR(S): Yamada, Masaya; Hirayama, Fumitoski; Uekama, Kaneto
CORPORATE SOURCE: Department of Physical Pharmaceuticals, Faculty of Pharmaceutical Sciences, Kumamoto University, Kumamoto, 862-0973, Japan
SOURCE: Drug Delivery System (1999), 14(1), 27-32
CODEN: DDSYEI; ISSN: 0913-5006
PUBLISHER: Nippon DDS Gakkai Jimukyoku
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Among various acylated .beta.-**cyclodextrins** where all hydroxyl groups are substituted by different acyl groups, trivaleryl .beta.-**cyclodextrin** (TV-.beta.-CyD) preferentially formed a transparent,

adhesive thin-film. When an ethanol soln. of TV-.beta.-CyD was spread on the backing membranes such as a polyethylene terephthalate film, polyethylene film and an aluminum foil, a transparent film was formed, the film being tightly stuck on the membranes. The detaching force of TV-.beta.-CyD film was higher and the decrease in the force by the addn. of oleic acid was smaller than that of a com. silicone pressure-sensitive adhesive which is used in transdermal drug delivery system. A vasodilator, isosorbide dinitrate (ISDN), was incorporated in the TV-.beta.-CyD film in molar ratios of 1:1 and 2:1 (ISDN: TV-.beta.-CyD). The release rate of ISDN from the TV-.beta.-CyD film increased with an increase in the film area, and slightly increased by the addn. of oleic acid in the film. The plasma levels of ISDN after topical application of the TV-.beta.-CyD film contg. ISDN to abdominal skin of rats were maintained at 100 **ng**/mL for about 10 h. Thus, the TV-.beta.-CyD film can serve as a drug reservoir for prolonged release of water-sol. drugs in transdermal prepns.

L11 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:668084 CAPLUS
DOCUMENT NUMBER: 129:293887
TITLE: An anti-spasmodic and antiinflammatory composition containing a NSAID, pitofenone and fenpiverinium
INVENTOR(S): Singh, Amarjit; Jain, Rajesh
PATENT ASSIGNEE(S): Panacea Biotec Ltd., India
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 868915	A1	19981007	EP 1997-302248	19970402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				

PRIORITY APPLN. INFO.: EP 1997-302248 19970402
AB A compn. comprising at least one non-steroidal antiinflammatory drug, their salts, their chirally pure forms, isomers and derivs., analogs and adducts thereof and two drugs pitofenone hydrochloride and fenpiverinium bromide in a pharmaceutically acceptable combination. Diclofenac sodium at 20 .mu.g/mL increased the 0.5 **ng**/mL fenpiverinium bromide inhibition of acetylcholine from 4.38 to 100%. A tablet contained diclofenac 46.5, pitofenone hydrochloride 5.0, fenpiverinium bromide 0.1,microcryst. cellulose 102.0, Aerosil-200 5.0,starch 50.0, povidone 1.5, magnesium stearate 1.0, talc 2.9, and Ac-di sol 10 mg.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:293427 CAPLUS
DOCUMENT NUMBER: 129:8597
TITLE: Embedding and encapsulation of **controlled release** particles
INVENTOR(S): Van Lengerich, Bernhard H.
PATENT ASSIGNEE(S): Van Lengerich, Bernhard H., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818610	A1	19980507	WO 1997-US18984	19971027
W: AU, CA, JP, NO, PL, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9749915	A1	19980522	AU 1997-49915	19971027
AU 744156	B2	20020214		
EP 935523	A1	19990818	EP 1997-912825	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511777	T2	20020416	JP 1998-520558	19971027
NO 9902036	A	19990428	NO 1999-2036	19990428

PRIORITY APPLN. INFO.: US 1996-29038P P 19961028
US 1997-52717P P 19970716
WO 1997-US18984 W 19971027

AB **Controlled release**, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive

or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A **release**-rate **controlling** component is incorporated into the matrix to **control** the rate of **release** of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the **release** time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one **release** -rate **controlling** component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temp. of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixt. The mixt. is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. **Release** properties may also be **controlled** by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, glycerol monostearate, and vegetable oil.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:290091 CAPLUS
DOCUMENT NUMBER: 129:36549
TITLE: Neurosteroid modulation of arterial baroreflex-sensitive neurons in rat rostral ventrolateral medulla
AUTHOR(S): Laiprasert, J. D.; Rogers, R. C.; Heesch, C. M.
CORPORATE SOURCE: Dep. of Physiology, Ohio State University, Columbus, OH, 42310-1218, USA
SOURCE: American Journal of Physiology (1998), 274(4, Pt. 2), R903-R911
CODEN: AJPHAP; ISSN: 0002-9513
PUBLISHER: American Physiological Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The major metabolite of progesterone, 3.alpha.-OH-dihydroprogesterone (3.alpha.-OH-DHP), is the most potent endogenous pos. modulator of central nervous system GABAA receptors. Acute i.v. administration of 3.alpha.-OH-DHP to virgin female rats potentiates arterial baroreflex sympathoinhibitory responses. The current expts. tested the possibility that circulating 3.alpha.-OH-DHP potentiates central GABAergic influences in the rostral ventrolateral medulla (RVLM). The unit activity of spontaneously active, spinally projecting, and arterial pressure-sensitive neurons was recorded in the RVLM of urethane-anesthetized rats. Arterial pressure sensitivity of RVLM neurons was tested before (**control**) and 10 min after bolus injection (44 .mu.l i.v.) of 3.alpha.-OH-DHP (1.12 .mu.g/kg) or vehicle (40% .beta.-**cyclodextrin**). Both threshold pressure and satn. pressure for inhibition of RVLM neurons were decreased after acute administration of a physiol. dose of 3.alpha.-OH-DHP (1.12 .mu.g/kg i.v.), which produces plasma concns. similar to those seen during pregnancy (20-30 **ng**/mL), suggesting potentiated responsiveness to endogenously **released** GABA. Following suppression by 3.alpha.-OH-DHP, high doses of the inactive stereoisomer 3.beta.-OH-DHP (112-224 .mu.g/kg i.v.) restored unit activity, presumably by displacing 3.alpha.-OH-DHP from the neurosteroid binding site on GABAA receptors.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:606360 CAPLUS
DOCUMENT NUMBER: 127:267940
TITLE: **Controlled-release** of diltiazem by a combination of short- and long-chain peracylated .beta.-**cyclodextrins** in dogs
AUTHOR(S): Soliman, O. A.; Kimura, K.; Hirayama, F.; Uekama, K.; El-Sabbagh, H. M.; Abd El-Gawad, A. H.; Hashim, F. M.
CORPORATE SOURCE: Faculty of Pharmacy, Mansoura University, Mansoura, 35516, Egypt
SOURCE: Pharmaceutical Sciences (1996), 2(11), 533-536
CODEN: PHSCFB; ISSN: 1356-6881
PUBLISHER: Royal Pharmaceutical Society of Great Britain
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The **release** characteristics of diltiazem were modified by short-

and long-chain peracylated .beta.-**cyclodextrins**, peracetyl-.beta.-**cyclodextrin** (TA-.beta.-CyD) and peroctanoyl-.beta.-**cyclodextrin** (TO-.beta.-CyD), and their combination in different molar ratios. The **release** rates of diltiazem from both powder and compressed tablets consisting of diltiazem/TA-.beta.-CyD/TO-.beta.-CyD decreased in the order of diltiazem alone (t1/2 = 1 min (powder) and 1 min (tablets)) < diltiazem/TA-.beta.-CyD complex (1: 1, t1/2=9 min and 9 min) < diltiazem/TA-.beta.-CyD complex (1: 2, t1/2 = 19 min and 38 min) < diltiazem/TA-.beta.-CyD/TO-.beta.-CyD ternary system (1: 2: 0.25, t1/2=45 min and 110 min) < diltiazem/TA-.beta.-CyD/TO-.beta.-CyD ternary system (1: 2: 0.5, t1/2=140 min and > 4 h), resp. The retarded **release** rates of diltiazem from the TA-.beta.-CyD and TA-.beta.-CyD/TO-.beta.-CyD systems were clearly reflected in blood diltiazem levels after oral administration of tablets in dogs. With administration of the diltiazem/TA-.beta.-CyD complex (1: 2), plasma diltiazem levels of over 20 **ng** mL-1 were maintained for at least 24 h, and the area under plasma concn.-time curve (AUC) was 2.5-times greater than that of the drug alone. Further, the diltiazem/TA-.beta.-CyD/TO-.beta.-CyD ternary system (1: 2: 0.5) gave a const. plasma level (18-40 **ng** mL-1) for more than 48 h, with significant increase in AUC. These results indicate that a combination of short- and long-chain peracylated .beta.-CyDs may serve as superior carriers for the **sustained release** of water-sol. drugs.

L11 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:226984 CAPLUS
DOCUMENT NUMBER: 120:226984
TITLE: Compositions of oral nondissolvable matrixes for transmucosal administration of medicaments
INVENTOR(S): Stanley, Theodore H.; Hague, Brian
PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
SOURCE: U.S., 20 pp. Cont.-in-part of U.S. 4,863,737.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5288498	A	19940222	US 1989-403752	19890905
US 4671953	A	19870609	US 1985-729301	19850501
EP 487520	A1	19920603	EP 1989-909497	19890816
EP 487520	B1	19950412		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 05501539	T2	19930325	JP 1989-504878	19890816
JP 2801050	B2	19980921		
AU 641127	B2	19930916	AU 1989-40704	19890816
AT 120953	E	19950415	AT 1989-909497	19890816
CA 1338978	A1	19970311	CA 1989-609378	19890824
AU 9050352	A1	19910408	AU 1990-50352	19890905
AU 645966	B2	19940203		
EP 493380	A1	19920708	EP 1990-902584	19890905
EP 493380	B1	19971029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5132114	A	19920721	US 1989-402881	19890905
JP 05501854	T2	19930408	JP 1990-502779	19890905
CA 1339075	A1	19970729	CA 1989-610329	19890905
AT 159658	E	19971115	AT 1990-902584	19890905
WO 9103236	A1	19910321	WO 1990-US4369	19900803
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9063371	A1	19910408	AU 1990-63371	19900803
AU 642664	B2	19931028		
EP 490944	A1	19920624	EP 1990-913359	19900803
EP 490944	B1	19960529		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05500058	T2	19930114	JP 1990-512483	19900803
JP 2749198	B2	19980513		
AT 138562	E	19960615	AT 1990-913359	19900803
ES 2089027	T3	19961001	ES 1990-913359	19900803
CA 2066403	C	19980414	CA 1990-2066403	19900803
NO 9200565	A	19920213	NO 1992-565	19920213
DK 9200193	A	19920214	DK 1992-193	19920214
NO 9200858	A	19920304	NO 1992-858	19920304
NO 9200855	A	19920410	NO 1992-855	19920304
NO 9200854	A	19920427	NO 1992-854	19920304
DK 9200300	A	19920505	DK 1992-300	19920305
AU 9460697	A1	19940623	AU 1994-60697	19940427

US 5855908 A 19990105 US 1994-339655 19941115
PRIORITY APPLN. INFO.: US 1985-729301 A2 19850501
US 1987-60045 A2 19870608
EP 1989-909497 A 19890816
WO 1989-US3518 W 19890816
US 1989-403752 A 19890905
WO 1989-US3801 A 19890905
WO 1990-US4369 A 19900803
US 1993-152414 B1 19931112

AB Compns. and methods of manuf. for producting a medicament compn. capable of absorption through the mucosal tissues of the mouth, pharynx, and esophagus are disclosed. The present invention relates to such compns. and methods which are useful in administering lipophilic and nonlipophilic drugs in a dose-to-effect manner such that sufficient drug is administered to produce precisely a desired effect. The invention also relates to manufg. techniques that enable therapeutic agents to be incorporated into nondissolvable drug containment matrixes which are capable of releasing the drug within a patient's mouth. An appliance or holder is preferably attached to the drug containment matrix. Employing the present invention the drug may be introduced into the patient's bloodstream almost as fast as through injection, and much faster than using the oral administration route, while avoiding the neg. aspects of both of these methods. The nondissolvable drug containment matrix may include permeation enhancers to increase the drug adsorption by the mucosal tissues of the mouth. The matrix compn. may also include pH buffering agents to modify the saliva pH thereby increasing the absorption of the drug through the mucosal tissues. Figures show views of some dosage forms.

L11 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:226981 CAPLUS
DOCUMENT NUMBER: 120:226981
TITLE: Compositions of oral dissolvable medicaments
INVENTOR(S): Stanley, Theodore H.; Hague, Brian
PATENT ASSIGNEE(S): University of Utah, USA
SOURCE: U.S., 22 pp. Cont.-in-part of U.S. 4,863,737.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5288497	A	19940222	US 1989-403751	19890905
US 4671953	A	19870609	US 1985-729301	19850501
EP 487520	A1	19920603	EP 1989-909497	19890816
EP 487520	B1	19950412		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 05501539	T2	19930325	JP 1989-504878	19890816
JP 2801050	B2	19980921		
AU 641127	B2	19930916	AU 1989-40704	19890816
AT 120953	E	19950415	AT 1989-909497	19890816
CA 1338978	A1	19970311	CA 1989-609378	19890824
AU 9050352	A1	19910408	AU 1990-50352	19890905
AU 645966	B2	19940203		
EP 493380	A1	19920708	EP 1990-902584	19890905
EP 493380	B1	19971029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5132114	A	19920721	US 1989-402881	19890905
JP 05501854	T2	19930408	JP 1990-502779	19890905
CA 1339075	A1	19970729	CA 1989-610329	19890905
AT 159658	E	19971115	AT 1990-902584	19890905
WO 9103237	A1	19910321	WO 1990-US4384	19900803
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9062877	A1	19910408	AU 1990-62877	19900803
AU 645265	B2	19940113		
EP 490916	A1	19920624	EP 1990-912733	19900803
EP 490916	B1	19951018		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05503917	T2	19930624	JP 1990-512229	19900803
EP 630647	A1	19941228	EP 1994-111352	19900803
EP 630647	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
AT 129148	E	19951115	AT 1990-912733	19900803
ES 2077686	T3	19951201	ES 1990-912733	19900803
CA 2066423	C	19980414	CA 1990-2066423	19900803
AT 177007	E	19990315	AT 1994-111352	19900803
ES 2133448	T3	19990916	ES 1994-111352	19900803
NO 9200565	A	19920213	NO 1992-565	19920213

DK 9200193	A	19920214	DK 1992-193	19920214
NO 9200857	A	19920406	NO 1992-857	19920304
NO 9200855	A	19920410	NO 1992-855	19920304
NO 9200854	A	19920427	NO 1992-854	19920304
DK 9200300	A	19920505	DK 1992-300	19920305
AU 9455218	A1	19940428	AU 1994-55218	19940218
AU 668004	B2	19960418		
AU 9460697	A1	19940623	AU 1994-60697	19940427
US 5824334	A	19981020	US 1996-636828	19960419
US 5783207	A	19980721	US 1997-795359	19970204
US 5785989	A	19980728	US 1997-822560	19970319

PRIORITY APPLN. INFO.:

US 1985-729301	A2	19850501
US 1987-60045	A2	19870608
EP 1989-909497	A	19890816
WO 1989-US3518	W	19890816
US 1989-403751	A	19890905
WO 1989-US3801	A	19890905
EP 1990-912733	A3	19900803
WO 1990-US4384	A	19900803
US 1993-152396	B1	19931112
US 1994-333233	B2	19941102
US 1995-439127	B1	19950511

AB Compns. and methods of manuf. for producing a medicament compn. capable of absorption through the mucosal tissues of the mouth, pharynx, and esophagus are disclosed. The present invention relates to such compns. and methods which are useful in administering lipophilic and nonlipophilic drugs in a dose-to-effect manner that sufficient drug is administered to produce precisely a desired effect. The invention also relates to a manufg. technique that enables a therapeutic agent or drug to be incorporated into a flavored dissolvable matrix. An appliance or holder is preferably attached to the dissolvable matrix. Employing the present invention the drug may be introduced into the patient's bloodstream almost as fast as through injection, and much faster than using the oral administration route, while avoiding the neg. aspects of both of these methods. The present invention achieves these advantages by incorporating the drug into a carbohydrate, fat, protein, wax, or other dissolvable matrix compn. The dissolvable matrix may include permeation enhancers to increase the drug absorption by the mucosal tissues of the mouth. The matrix compn. may also include pH buffering agents to modify the salival pH thereby increasing the absorption of the drug through the mucosal tissue. Methohexital sodium was incorporated into a dissolvable matrix including citric acid; ribotide; Compritol 888; aspartame; vanilla, wild cherry, and peppermint microcapsules; compressible sugar; and maltodextrin.

L11 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:567775 CAPLUS
DOCUMENT NUMBER: 119:167775
TITLE: Nonirritating **nitroglycerin** oral preparations
INVENTOR(S): Sawai, Kiichi; Kurono, Masatsune; Kondo, Yasuaki; Sato, Makoto; Sugimoto, Manabu
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05163140	A2	19930629	JP 1991-333615	19911217

PRIORITY APPLN. INFO.: JP 1991-333615 19911217

AB The title pharmaceutical compns. for e.g. sublingual application are manufd. by dissolving or dispersing **nitroglycerin** and **nitroglycerin**-compatible carriers (e.g. fructose) in a medium and adsorbing the soln. or dispersion on a high mol.-wt. substance (e.g. hyphoxypropyl cellulose). The prepns. are free of unpleasant and irritating taste and stable and show excellent **controlled-release**.

L11 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:158690 CAPLUS
DOCUMENT NUMBER: 116:158690
TITLE: Bioavailability of leuprolide acetate following nasal and inhalation delivery to rats and healthy humans
AUTHOR(S): Adjei, Akwete; Sundberg, Dean; Miller, James; Chun, Alexander
CORPORATE SOURCE: Pharm. Prod. Div., Abbott Lab., North-Chicago, IL,

60064, USA
SOURCE: Pharmaceutical Research (1992), 9(2), 244-9
CODEN: PHREEB; ISSN: 0724-8741
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Systemic delivery of leuprolide acetate, a LH **releasing** hormone (LHRH) agonist, was compared after inhalation (i.h.) and intranasal (i.n.) administration. The i.n. bioavailability in rats was significantly increased by .alpha.-**cyclodextrin** (CD), EDTA, and soln. vol. Intraanimal variability was 30-60%, and absorption ranged from 8 to 46% compared to i.v. **controls**. Studies in healthy human males were conducted with leuprolide acetate i.n. by spray, or inhalation aerosol (i.h.), and s.c. and i.v. injections. The s.c. injection was 94% bioavailable compared with i.v. The i.n. bioavailability averaged 2.4%, with significant subject-to-subject variability. Plasma peak concns. (Cmax) with 1- and 3-mg dosages ranged between 0.24-1.6 and 0.10-11.0 **ng**/mL, resp. The low human bioavailability may be due to phys. loss of drug down the oral cavity and differences between human and rat nasal mucosa. Inhalation delivery gave a slightly lower intersubject variability. Mean Cmax with a 1-mg dose of soln. aerosol was 0.97 **ng**/mL, compared with 4.4 and 11.4 **ng**/mL for suspension aerosols given at 1- and 2-mg bolus dosages, resp. The mean bioavailability of the suspension aerosols (28% relative to s.c. administration) was 4-fold greater than that of the soln. aerosol (6.6%), suggesting that LHRH analogs may be delivered systemically via the lung as aerosol dispersions.

L11 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:542119 CAPLUS
DOCUMENT NUMBER: 115:142119
TITLE: Effect of .beta.-**cyclodextrins** on **sustained release** of **nitroglycerin** from ointment bases
AUTHOR(S): Tomono, Kazuo; Gotoh, Hiroko; Okamura, Makoto; Horioka, Masayoshi; Ueda, Haruhisa; Nagai, Tuneji
CORPORATE SOURCE: Coll. Pharm., Nihon Univ., Chiba, 274, Japan
SOURCE: Yakuzaigaku (1991), 51(1), 22-8
CODEN: YAKUA2; ISSN: 0372-7629
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The effect of .beta.-**cyclodextrin** (.beta.-CyD) and water-sol. .beta.-**cyclodextrin**-epichlorohydrin polymer (CDPS) on **release** behavior of **nitroglycerin** (TNG) from ointment base were investigated in comparison with that of TNG alone. In order to evaluate their percutaneous absorption, samples were applied to the shaved back skin of rabbits. It was found that TNG/.beta.-CyD complex of ointment showed the longest depression of blood pressure, and also maintained at a plateau for 8 h after application in plasma TNG level. This in vivo result was consistent with those of the in vitro dissoln. expt. It was suggested that TNG/.beta.-CyD complex might be applicable to **sustained-release** prepns. for percutaneous administration.

L11 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:192366 CAPLUS
DOCUMENT NUMBER: 114:192366
TITLE: Effect of diethyl .beta.-**cyclodextrin** on the release of **nitroglycerin** from formulations
AUTHOR(S): Umemura, Masashi; Ueda, Haruhisa; Tomono, Kazuo; Nagai, Tsuneji
CORPORATE SOURCE: Fac. Pharm. Sci., Hoshi Univ., Tokyo, 142, Japan
SOURCE: Drug Design and Delivery (1990), 6(4), 297-310
CODEN: DDDEEJ; ISSN: 0884-2884
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The complex-forming abilities of 2,6-di-O-ethyl-.beta.-**cyclodextrin** (DE-.beta.-CD), and its effect on the **release** of **nitroglycerin** (TNG) from formulations of the compd., were studied and compared with corresponding properties of .beta.-**cyclodextrin** (.beta.-CD) and 2,6-di-O-methyl-.beta.-**cyclodextrin** (DM-.beta.-CD). Complex formation was confirmed by DSC and IR absorption spectroscopy. In an accelerator test involving temp. and reduced pressure, marked depression of the volatility of TNG was obsd. as a result of CD complex formation. Dissoln. rats of TNG from powdery TNG/DE-.beta.-CD complex and its tablets were retarded in comparison with the rates from other CD complexes. The **release** rate of TNG from ointments was accelerated by complexation with DE-.beta.-CD, and retarded by complexation with .beta.-CD. To evaluate their in vivo percutaneous absorption, samples were applied to the inside tip of the check pouch of male golden hamsters. The amt. of TNG remaining

in the cheek pouch was lowest in the case of the TNG/DE-.beta.-CD complex ointment, and relatively high in the case of the TNG/.beta.-CD complex ointment, in agreement with the in vitro results. The combination of DE-.beta.-CD complex and .beta.-CD complex might be applicable to **sustained-release** prepns. for percutaneous administration.

L11 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:25671 CAPLUS
DOCUMENT NUMBER: 112:25671
TITLE: Pharmaceuticals for intranasal administration
INVENTOR(S): Sawai, Kiichi; Kurono, Masatsune; Kato, Bunkichi
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01117825	A2	19890510	JP 1987-272595	19871028

PRIORITY APPLN. INFO.: JP 1987-272595 19871028
AB Intranasal formulations contain pharmaceuticals (antibiotics, hormones, psychotropics, etc.), absorption **control** agents (**cyclodextrin**, lactose, CM-cellulose, etc.), and fillers (Macrogol, glycerogelatins, etc.) which dissolve slowly at body heat. These formulations **release** the pharmaceuticals at a const. rate for a long time. Thus, 2 .times. 106 IU interferon was adsorbed on 50 mg **cyclodextrin**, dispersed in Macrogol, and made into a powder. An app. for the intranasal administration is shown by diagrams.

L11 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:173559 CAPLUS
DOCUMENT NUMBER: 108:173559
TITLE: **Sustained-release** transdermal preparations of 2-nitroxymethyl-6-chloropyridine and its **cyclodextrin** inclusion compounds as vasodilators
INVENTOR(S): Ueda, Yoshio; Asakura, Sotoo; Murakami, Yoshio; Shimojo, Fumio; Kado, Kazutake
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 241806	A1	19871021	EP 1987-104782	19870401
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4749574	A	19880607	US 1987-32628	19870401
JP 63045219	A2	19880226	JP 1987-85950	19870408

PRIORITY APPLN. INFO.: JP 1986-86589 19860414
OTHER SOURCE(S): CASREACT 108:173559
AB **Sustained-release** transdermal pharmaceuticals contain 2-nitroxymethyl-6-chloropyridine (I) or its inclusion compds. with .beta.-**cyclodextrin**, which are prepd. 2-Hydroxymethyl-6-chloropyridine was treated with fuming HNO3 to give I, which was treated with .beta.-**cyclodextrin** to give the 1:1 (II) or 3:1 I-.beta.-**cyclodextrin** inclusion compd. II (1.3 kg) was coated onto 1.0 kg nonpareil using a 715 g 50% sucrose soln. as a binder. The granules (200 g) were coated with Eudragit E30D 89.0 , talc 6.6, PEG-6000 1.8, and water 171.0 g, to give 235.3 g dried product, which (77 mg; 5 mg as I) was added to agar 40 mg, water 700 mL, and glycerol 300 mg. The dispersion was cast into an 0.2 cm-deep mold with a diam. of 2.5 cm and allowed to stand at room temp. to give a **sustained-release** transdermal delivery pad. In rats, this pad gave blood level of I of 13-18 **ng** /mL for 24 h (except for 32 **ng**/mL I at 20 h).

L11 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:578359 CAPLUS
DOCUMENT NUMBER: 105:178359
TITLE: Tableting of a **nitroglycerin** inclusion compound and investigation of the **sustained-release** tablets
AUTHOR(S): Kata, Mihaly; Wayer, Maria; Szabo Revesz, Piroska;

Kedvessy, Gyorgy; Stadler-Szoke, Agnes; Szejtli, Jozsef
CORPORATE SOURCE: Pharm.-Chem. Werk, CHINOIN A.-G., Budapest, Hung.
SOURCE: Acta Pharmaceutica Hungarica (1986), 56(4), 157-63
CODEN: APHGAO; ISSN: 0001-6659
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Tablets contg. **nitroglycerin**-.beta.-**cyclodextrin** complex (I) [58195-87-2] were prepd. with a **nitroglycerin** content of 13.4% by using excipients, lactose, Avicel PH 101, Mg stearate and Aerosil R 972. The phys. properties of the tablets, disintegration time, compression strength and abrasion loss were detd. The drug (100%) was dissolved after 8-9 min from the complex, while only 80-85% drug dissolved from the com. tablets in 8-9 min. The release of the drug from the complex tablets was studied by using propeller-stirrer and USP XX methods. After 1 h stirring 60 and 50% drug dissolved (propeller and USP XX methods., resp.). The tablets showed delayed-release behavior. Tests of tablets heat treated at 50.degree. showed that the drug content of the I tablets was between 96 and 104% and did not decrease. The com. tablets, however, showed only 96.2% of the declared content; the content after 1 day was 35% and after 2 days decreased to 30%.

L11 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:11681 CAPLUS
DOCUMENT NUMBER: 96:11681
TITLE: Drug-containing bandages
PATENT ASSIGNEE(S): Nitto Electric Industrial Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56123912	A2	19810929	JP 1980-28404	19800305
JP 02040645	B4	19900912		

PRIORITY APPLN. INFO.: JP 1980-28404 19800305

AB Bandages contg. drugs like **nitroglycerin** [**55-63-0**] (a vasodilator) are prepd. using inclusion agents such as **cyclodextrin** and adhesive polymers which release the drugs over a prolonged period. Thus, 12 mL acetone contg. 6 g **nitroglycerin** was slowly added to 700 mL water contg. 40 g .beta.-**cyclodextrin** [7585-39-9] at 70.degree. to produce a white ppt. which was isolated and dried to obtain a powdery inclusion compd. (35 g) contg. 12.3 wt. % **nitroglycerin**. This product (25 parts) was added to 75 parts ethylene-vinyl acetate copolymer [24937-78-8] which had been dissolved in CHCl3, and the mixt. was spread over (80 .mu. thick) on a film and dried to obtain a bandage.

L18 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:558022 CAPLUS
DOCUMENT NUMBER: 127:267835
TITLE: Skin and dentifrice compositions containing oil-soluble substances or peptides
INVENTOR(S): Takei, Masumi
PATENT ASSIGNEE(S): NOEVIR Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09216810	A2	19970819	JP 1996-48251	19960208
PRIORITY APPLN. INFO.:			JP 1996-48251	19960208

AB Title compns. contain .gtoreq.1 **cyclodextrin** polymer tubes that include oil-sol. substances or peptides. The compns. show improved stability and **controlled-release** of biol. active substances or peptides. A skin lotion contg. EtOH 5.0, hydroxyethyl cellulose 1.0, squalane-.beta.-**cyclodextrin** inclusion compd. 20.0, .**alpha**.-hydroxystearic acid-.**alpha**.-**cyclodextrin** inclusion compd. 10.0, and H2O 64.0 wt.% was stable at 25.degree. for 3 mo.

L18 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:617508 CAPLUS
DOCUMENT NUMBER: 123:1295
TITLE: 17.beta.-Estradiol stimulates **prostacyclin**, but not endothelin-1, production in human vascular endothelial cells
AUTHOR(S): Mikkola, Tomi; Turunen, Pertti; Avela, Kristiina; Orpana, Arto; Viinikka, Lasse; Ylikorkala, Olavi
CORPORATE SOURCE: Departments of Obstetrics and Gynecology and Clinical Chemistry, University of Helsinki, Helsinki, SF-00290, Finland
SOURCE: Journal of Clinical Endocrinology and Metabolism (1995), 80(6), 1832-6
CODEN: JCEMAZ; ISSN: 0021-972X
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The exact mechanisms by which estrogens protect against occlusive vascular disorders are not known. One possibility could be an effect on vascular endothelial vasoactive compds., such as vasodilatory **prostacyclin** (PGI2) and vasoconstrictory endothelin (ET-1). Here we report on the effect of 17.beta.-estradiol on the synthesis of PGI2 and ET-1 in cultured human umbilical vein endothelial cells. These cells were incubated in the absence (**control**) and presence of 17.beta.-estradiol (0.001-1 .mu.mol/L) for 3-24 h with serum (10%) or without serum. The **release** of PGI2, as assessed by its metabolite 6-keto-**prostaglandin** F1.**alpha**., and that of ET-1, were assessed by RIA. 17.beta.-Estradiol (0.01-0.1 .mu.mol/L) predissolved in ethanol (final concn., 0.01%) increased PGI2 prodn. by 26-30% in endothelial cells incubated without serum. This increase in PGI2 prodn. was enhanced up to 66% when 17.beta.-estradiol (1 .mu.mol/L) was encapsulated within .beta.-**cyclodextrin**. The stimulation of PGI2 prodn. was detectable after 12 h of incubation. The 17.beta.-estradiol-induced stimulation of PGI2 prodn. was blocked in dose-dependent manner by antiestrogenic tamoxifen. 17.beta.-Estradiol failed to affect the prodn. of PGI2 if the endothelial cells were incubated with serum and had no effect on ET-1 prodn. under any conditions. 17.beta.-Estradiol-induced stimulation of vasodilatory and antiaggregatory PGI2 prodn. without a concomitant change in vasoconstrictory ET-1 prodn. may provide one explanation for the ability of estradiol to maintain vascular health and protect against vascular disorders.

L18 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:411740 CAPLUS
DOCUMENT NUMBER: 109:11740
TITLE: Heat- and water-sensitive amphiphilic polymeric adhesive as base for transdermal drug delivery
INVENTOR(S): Shikinami, Yasuo; Sasatani, Seiei
PATENT ASSIGNEE(S): Takiron Co., Ltd., Japan; Ono Pharmaceutical Co., Ltd.
SOURCE: Eur. Pat. Appl., 80 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245858	A2	19871119	EP 1987-106969	19870514
EP 245858	A3	19900411		
EP 245858	B1	19920729		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 63146812	A2	19880618	JP 1987-83772	19870407
JP 07025666	B4	19950322		
AT 78706	E	19920815	AT 1987-106969	19870514
ES 2043619	T3	19940101	ES 1987-106969	19870514

PRIORITY APPLN. INFO.: JP 1986-108633 19860514
JP 1987-83772 19870407
EP 1987-106969 19870514

AB An adhesive for transdermal drug delivery contains a base component mainly comprising a heat- and water-sensitive amphiphilic polymer; the drug is incorporated into the base layer. The polymer is a block copolymer with hydrophilic and hydrophobic segments which allows a broad range of liq. or solid hydrophilic or hydrophobic drugs to be stably dissolved or dispersed. A block copolymer was prepd. which contained segments of poly-.epsilon.-caprolactone (mol. wt. 530), polypropylene glycol (mol. wt. 400), polyethylene glycol (mol. wt. 1000), and hexamethylene diisocyanate; it had a m.p. of 36-37.degree.. The polymer (100 mg) was melted and 3.333 mg .**alpha**.-**cyclodextrin**-clathrated 17S,20-dimethyl-trans-.DELTA.2-PGE1 was blended and dispersed therein. The drug-contg. polymer did not change when stored sealed under vacuum for 6 mo. at .ltoreq.25.degree.. The mixt. was covered with a porous membrane of phase-sepd. crosslinked gelatin-dextran and reinforced with nylon tricot mesh; on human skin, this compn. **released** 60-70% of the drug in 72 h, indicating the **release** pattern had a relatively uniform gradient. When this device was applied to spontaneously hypertensive rats at 1 mg/kg, the blood pressure was decreased for .gtoreq.24 h, whereas a conventional PGE ointment did not provide a **sustained** effect.

L18 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:401387 CAPLUS
DOCUMENT NUMBER: 109:1387
TITLE: Effects of analogs of thromboxane A2 and **prostacyclin** on calcium-45 release from neonatal mouse calvaria
AUTHOR(S): Saitoh, Shigeru
CORPORATE SOURCE: Sch. Dent., Showa Univ., Yamanashiken, Japan
SOURCE: Showa Shigakkai Zasshi (1987), 7(2), 147-53
CODEN: SSZADC; ISSN: 0285-922X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Effects of the TXA2 analog 9,11-epithio-11,12-methano-TXA2 (STA2) and the PGI2 analog 5(E)-6,9-deoxa-6,9.**alpha**.-methylene-15-cyclopentyl-16,17,18,19,20-pentanor-PGI2 .**alpha**.-**cyclodextrin** clathrate (OP 41483) on bone resorption were studied in vitro in comparison with that of PGE2. In measuring the **release** of 45Ca under culture, using 45Ca previously incorporated in neonatal mouse calvaria as an indicator, an increase in the **release** of 45Ca was obsd. only at high doses such as 10-5 M and 10-4 M of both STA2 and OP 41483. This bears a close resemblance to the resorptive conditions in the concn. range of PGE2, known as a strong bone resorptive factor, from 10-8 M to 10-5 M. PGE2, STA2, and OP 41483 have a resorption potency ratio of approx. 100:3:1. In a time-course study followed for observing the activities responsible for bone resorption of these 3 reagents at 6, 24, 48, and 72 h, each of the reagents used showed a linear increase with the passage of time. In the expt. measuring cAMP, the culturing time was limited to 10 min because of its activity time being very short and the reagents (PGE2, STA2, and OP 41483) in a concn. 10 times as high as that of those used in other five expts. were used. As a result, it was indicated that cAMP prodn. level of PGE2, STA2, and OP 41483 was almost the same, but was significantly high as compared with that of the group of **controls** (no reagent added), though it did not reach that of parathyroid hormone (PTH). Imidazole known as a blocker of thromboxane synthesis has an inhibitory effect on both basal bone resorption and PTH-induced bone resorption, but the addn. of STA2 and OP 41483 overcame the inhibitory effect of this substance and resulted in an effect on bone resorption. This phenomenon was almost identical to the effect produced when PGE2 was added. Examn. of the inhibitory effect on resorption of calcitonin (CT) demonstrated that it inhibits not only the resorption of basal bone, but also the bone resorption induced by STA2, OP 41483, or PGE2. The above data suggest the TXA2 and PGI2 may be responsible for

bone resorption and similar in mechanism to PGE2.

L18 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:40477 CAPLUS
DOCUMENT NUMBER: 98:40477
TITLE: **Release control** of 16,16-dimethyl-trans-.DELTA.2-**prostaglandin** E1 methyl ester by **cyclodextrin** complexation
AUTHOR(S): Hirayama, Fumitoshi; Otagiri, Masaki; Uekama, Kaneto; Wakuda, Toru; Inaba, Kohji
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan
SOURCE: Kobunshi Ronbunshu (1982), 39(10), 643-8
CODEN: KBRBA3; ISSN: 0386-2186
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Inclusion complexes of 6,16-dimethyl-trans-.DELTA.2-**prostaglandin** E1 Me ester (ONO-802) with .**alpha**.-, .beta.-, and .gamma.-**cyclodextrin** (.**alpha**.-, .beta.-, and .gamma.-CyD) in water and in solid state were studied by the soly. method and by powder x-ray diffractometry. The stability consts. of the complexes increased in the order of .beta.-CyD-ONO-802 > .**alpha**.-CyD-ONO-802 > .gamma.-CyD-ONO-802. Solid complexes of ONO-802 with .beta.- and .gamma.-CyD in a molar ratio of 1:2 (ONO-802:2CyD) were prepd. on the basis of the phase soly. diagram, and their soln. in water, permeation through a cellophane membrane, and release from a suppository base (Witepsol H-15) were examd. and compared with those of ONO-802 alone. The apparent rates of soln. and permeation of ONO-802 were significantly higher with the inclusion complexes (.beta.-CyD-ONO-802 > .gamma.-CyD-ONO-802 > ONO-802 alone). Inclusion complexation was also effective in releasing ONO-802 from the suppository base (release rate: .beta.-CyD-ONO-802 > .gamma.-CyD-ONO-802 > ONO-802 alone).

L18 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:498366 CAPLUS
DOCUMENT NUMBER: 97:98366
TITLE: Stabilized, **prostaglandin**-containing tablets with a controlled rate of solubility, for local use
INVENTOR(S): David, Agoston; Horvath, Tibor; Kiss, Csaba; Nagy, Gabor; Simon, Kalman; Simonidesz, Ilona; Udvardi, Agnes; Virag, Sandor
PATENT ASSIGNEE(S): Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt. , Hung.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4335097	A	19820615	US 1981-225361	19810115
EP 56065	A1	19820721	EP 1981-100140	19810110
EP 56065	B1	19840404		
R: BE, CH, DE, FR, GB, IT, NL, SE				
JP 57123113	A2	19820731	JP 1981-3936	19810116
JP 01053251	B4	19891113		

PRIORITY APPLN. INFO.: US 1981-225361 19810115
AB Stable **prostaglandin** tablets for cervical and sublingual administration consist of 1 or more **prostaglandins** (0.2-20), a nontoxic buffer (0.4-40), stearic acid [57-11-4] (1-50), metal stearate (0-15), lactose (10-95), granulation aid, disintegrants and flavoring substances. The nontoxic buffer adjusts the pH (3-5) of the liq. film formed on the surface of the solid phase under the influence of air humidity. Further, by adjusting the ratio of stearic acid and alkali earth metal stearates the ratio of **release** of the active ingredient can be **controlled**. Thus, sublingual tablets were prepd. contg. **prostaglandin** F2.**alpha**. (PGF2.**alpha**.) [551-11-1] 0.2, lactose 42.98, poly(vinylpyrrolidone) 2.33, Na citrate [68-04-2] 0.1, citric acid [77-92-9] 0.1, stearic acid 1.67, Ca stearate [1592-23-0] 0.42, aroma .beta.-**cyclodextrin** inclusion complex 1.2, and saccharin 1.0 mg. PGF2.**alpha**. was dissolved in a large excess of EtOH, the soln. dild with H2O and the homogeneous mixt. of the components was granulated using this soln. and pressed into tablets. The tablets were administered to women in labor. The cervical canal became smoother and the spontaneous retractions of the womb were increased.

L18 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:465479 CAPLUS

DOCUMENT NUMBER: 83:65479
TITLE: **Prostaglandin** granules
INVENTOR(S): Suetani, Tamotsu; Inaba, Koji
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50035319	A2	19750404	JP 1973-86663	19730801

PRIORITY APPLN. INFO.: JP 1973-86663 19730801

AB Two or more kinds of **prostaglandin** granule contg. different proportions of wax (b.p. 50-70.degree.), satd. fatty acid, long chain alc. and a disintegrating agent (<100 mesh) were prepd. and mixed to produce a product capable of regulating blood **prostaglandin** level. Thus, granules A contained beeswax 2.0, stearic acid 28.0, Ca cellulose gluconate 10.0, and PGF2.**alpha**.-**cyclodextrin** compd. [55648-21-0] 1.5 g, and granules B contained beeswax 5.0, stearic acid 25.0, Ca cellulose gluconate 5.0, and PGF2.**alpha**.-**cyclodextrin** compd. 1.5 g. A mixt. of A (400 mg) and B (1600 mg) granules produced a blood PGF2.**alpha**. (I) [551-11-1] peak at 1.5-3 hr after administration.

10/021,2-1

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:784146 CAPLUS
DOCUMENT NUMBER: 132:40532
TITLE: **Acylated** alkylated **cyclodextrin** and their use as carriers for drugs
INVENTOR(S): **Uekama, Kaneto**; Hirayama, Fumitoshi; Kondo, Akira; Kawaji, Hiroshi; Ohta, Masaaki; Okamoto, Yasuhiro
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962958	A1	19991209	WO 1999-JP2806	19990527
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9939547	A1	19991220	AU 1999-39547	19990527
EP 1084149	A1	20010321	EP 1999-922527	19990527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002517521	T2	20020618	JP 2000-552168	19990527

PRIORITY APPLN. INFO.: JP 1998-164465 A 19980529
WO 1999-JP2806 W 19990527

AB **Cyclodextrin** derivs. having at least one lower alkyl group and at least one C2-20 alkanoyl group in the mol. are disclosed, and pharmaceutical prepns. wherein the derivs. and a drug are in such a state that they are closely compounded are also disclosed. These **cyclodextrin** derivs. have low hemolytic activity.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:330015 CAPLUS
DOCUMENT NUMBER: 130:343037
TITLE: **Acylated cyclodextrin**-containing trans-mucosal or transdermal pharmaceutical composition
INVENTOR(S): **Uekama, Kaneto**; Hirayama, Fumitoshi; Kondo, Akira; Ohta, Masaaki; Okamoto, Yasuhiro; Kunihiro, Haruo
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5904929	A	19990518	US 1997-886934	19970702

PRIORITY APPLN. INFO.: US 1997-886934 19970702

AB A pharmaceutical compn. for trans-mucosal or transdermal administration wherein a per-C2-18 **acylated cyclodextrin** is used as a drug reservoir or carrier is disclosed. The compn. can be used safely and exhibits excellent drug release behavior. Tablets administrable to oral mucosa contained triamcinolone 5, pervaleryl-.beta.-**cyclodextrin** 20, lactose 17, Avicel PH102 7.5, HPMC 2.5 mg, and trace amt. of magnesium stearate. The tablets were good in adhesion to the cheek, and adhesion was durable. Further, there was no irritation to mucosa at the time of application. Formulation of transdermal compns. are also disclosed.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:196091 CAPLUS
DOCUMENT NUMBER: 131:35743

TITLE: Release-control of a water-soluble drug by film-forming trivaleryl .beta.-**cyclodextrin**

AUTHOR(S): Yamada, Masaya; Hirayama, Fumitoski; **Uekama, Kaneto**

CORPORATE SOURCE: Department of Physical Pharmaceuticals, Faculty of Pharmaceutical Sciences, Kumamoto University, Kumamoto, 862-0973, Japan

SOURCE: Drug Delivery System (1999), 14(1), 27-32
CODEN: DDSYEI; ISSN: 0913-5006

PUBLISHER: Nippon DDS Gakkai Jimukyoku

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB Among various **acylated** .beta.-**cyclodextrins** where all hydroxyl groups are substituted by different acyl groups, trivaleryl .beta.-**cyclodextrin** (TV-.beta.-CyD) preferentially formed a transparent, adhesive thin-film. When an ethanol soln. of TV-.beta.-CyD was spread on the backing membranes such as a polyethylene terephthalate film, polyethylene film and an aluminum foil, a transparent film was formed, the film being tightly stuck on the membranes. The detaching force of TV-.beta.-CyD film was higher and the decrease in the force by the addn. of oleic acid was smaller than that of a com. silicone pressure-sensitive adhesive which is used in transdermal drug delivery system. A vasodilator, isosorbide dinitrate (ISDN), was incorporated in the TV-.beta.-CyD film in molar ratios of 1:1 and 2:1 (ISDN: TV-.beta.-CyD). The release rate of ISDN from the TV-.beta.-CyD film increased with an increase in the film area, and slightly increased by the addn. of oleic acid in the film. The plasma levels of ISDN after topical application of the TV-.beta.-CyD film contg. ISDN to abdominal skin of rats were maintained at 100 ng/mL for about 10 h. Thus, the TV-.beta.-CyD film can serve as a drug reservoir for prolonged release of water-sol. drugs in transdermal prepns.

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:729652 CAPLUS

DOCUMENT NUMBER: 130:114879

TITLE: Improvements of gastrointestinal absorption and lymphatic transfer of cyclosporin A by various **cyclodextrins**

AUTHOR(S): Miyake, Kouzou; Irie, Tetsumi; Hirayama, Fumitoshi; **Uekama, Kaneto**

CORPORATE SOURCE: Department of Physical Pharmaceutics, Faculty of Pharmaceutical Sciences, Kumamoto University, Kumamoto, 962-0973, Japan

SOURCE: Drug Delivery System (1998), 13(5), 369-375
CODEN: DDSYEI; ISSN: 0913-5006

PUBLISHER: Nippon DDS Gakkai Jimukyoku

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB The soly. of cyclosporin A (CYA), an immunosuppressive drug, in water increased with a rise in hydrophilic **cyclodextrin** (CyD) concns., forming higher-order complexes. The solubilizing ability of hydrophilic CyDs for CYA increased in the order .gamma.-Cyd < .beta.-Cyd < .alpha.-Cyd .mchlt. 2,6-dimethyl-.beta.-Cyd (DM-.beta.-Cyd) .apprxeq. DM-.alpha.-CyD. The oral bioavailability of CYA was increased about 4.5-fold by the complexation with DM-CyDs, and the variation of CYA absorption from gastrointestinal tracts was significantly decreased. On the other hand, the lymphatic transfer of CYA was hardly affected by the hydrophilic CyDs including DM-CyDs. **Acylated** .beta.-CyDs with all hydroxyl groups of .beta.-CyD substituted with acetyl, butanoyl and octanoyl groups, were used as slow release carriers for CYA. When CYA/ **acylated** .beta.-CyDs complexes were administered orally, both plasma and lymph concns. of CYA were prolonged up to at least 36 h, although the bioavailability decreased particularly for the butanoyl and octanoyl .beta.-CyDs complexes. Interestingly, triacetyl-.beta.-CyD complex increased both plasma and lymph concns. of CYA compared with drug alone. The oral administration of CYA as an olive oil soln. significantly enhanced the lymph levels of CYA. The CYA/olive oil soln. in combination with HP-CyDs, esp. HP-.gamma.-CyD, further increased plasma and lymph levels of CYA. These results suggest that DM-CyDs are particularly useful in improving the oral bioavailability of CYA, while hydrophobic **acylated** .beta.-CyDs are useful as a prolonged-release carrier for CYA. A CYA/olive oil soln. in combination with HP-.gamma.-CyD facilitated the lymphatic transfer of CYA.

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:440965 CAPLUS

DOCUMENT NUMBER: 122:273957

TITLE: Characterization of peracylated .beta.-**cyclodextrins** with different chain lengths as a novel sustained-release carrier for water-soluble

drugs
AUTHOR(S): Hirayama, Fumitoshi; Yamanaka, Masayuki; Horikawa, Takashi; **Uekama, Kaneto**
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1995), 43(1), 130-6
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

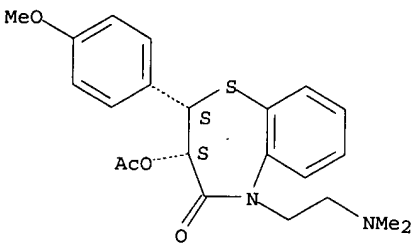
AB A new series of peracylated .beta.-**cyclodextrins** (.beta.-CyDs) with different alkyl chains (acetyl-lauroyl) was prepd. in high purity by **acylating** all hydroxyl groups of .beta.-CyD using acid anhydrides in pyridine, and their physicochem. properties of soly., hydrolysis and release and interaction capacity were evaluated. The soly. of peracylated .beta.-CyDs in water decreased with lengthening alkyl chain, whereas that in ethanol/water increased with increase in ethanol concn., but tended to decrease at higher ethanol concn. The soly. parameter of peracylated .beta.-CyDs was detd. by analyzing the peak-soly. phenomenon by a modified Hildebrand equation. The alk. hydrolysis rate of peracylated .beta.-CyDs decreased with lengthening alkyl chain, and was about 4-fold faster than that of the corresponding fatty acid Et esters. The interaction of perbutanoyl-.beta.-CyD (TB-.beta.-CyD) with a water-sol. drug, molsidomine, in the solid state was investigated by differential scanning calorimetry (DSC). The anal. of DSC curves suggested that molsidomine and TB-.beta.-CyD form a binary solid dispersion with a 2:1 (drug:TB-.beta.-CyD) molar ratio. The rate of drug release was markedly retarded by the combination with peracylated .beta.-CyDs in the increasing order of the hydrophobicity of host mols.

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:313718 CAPLUS
DOCUMENT NUMBER: 123:122963
TITLE: Release-control of water-soluble drugs by adhesive and film-forming **acylated** .beta.-**cyclodextrins**
AUTHOR(S): Hirayama, Fumitoshi; **Uekama, Kaneto**
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan
SOURCE: Pharm Tech Japan (1995), 11(1), 19-24
CODEN: PTJAE9; ISSN: 0910-4739
PUBLISHER: Yakugyo Jihosha
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB Peracylated .beta.-**cyclodextrins** (.beta.-CyDs) with different alkyl chains (acetyl-lauroyl) were prepd. in high purity, and their physicochem. properties such as soly., hydrolysis and interaction-capacity were evaluated. Furthermore, a potential use of **acylated** .beta.-CyDs as a sustained-release carrier was investigated. **Acylated** .beta.-CyDs decelerated the release rate of water-sol. drugs such as molsidomine and salbutamol hydrosulfate, in proportion to the lengthening alkyl chain, and suppressed the peak plasma level of the drugs following oral administration of the **acylated** .beta.-CyD complexes in dogs. Among **acylated** .beta.-CyDs, perbutanoyl .beta.-CyD showed the most prominent retarding effect owing to its superior mucoadhesive property and hydrophobicity. On the other hand, perpentanoyl .beta.-Cyd formed an adhesive thin-film on water surface, when its benzene soln. was spread on water and the benzene was evapd. Molsidomine was incorporated in the film of perpentanoyl .beta.-CyD, from which the drug was slowly released. The results suggest that **acylated** .beta.-Cyds, particularly perbutanoyl and perpentanoyl .beta.-CyDs, may be useful in modifying the release rate of water-sol. drugs as a novel slow-release carrier.

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN 42399-41-7 REGISTRY
CN 1,5-Benzothiazepin-4(5H)-one, 3-(acetyloxy)-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(4-methoxyphenyl)-, (2S,3S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,5-Benzothiazepin-4(5H)-one, 3-(acetyloxy)-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(4-methoxyphenyl)-, (2S-cis)-
OTHER NAMES:
CN (+)-cis-Diltiazem
CN (+)-Diltiazem
CN Adizem XL
CN Coras
CN d-cis-Diltiazem
CN d-Diltiazem
CN **Diltiazem**
CN Dilzem
FS STEREOSEARCH
MF C22 H26 N2 O4 S
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DIOGENES, DRUGPAT, DRUGU, EMBASE, IFICDB, IFIUDB, IPA, MEDLINE, MRCK*, NIOSHTIC, PHAR, PHARMASEARCH, PROMT, RTECS*, SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry. Rotation (+).



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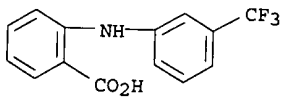
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4142 REFERENCES IN FILE CA (1957 TO DATE)
62 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
4146 REFERENCES IN FILE CAPLUS (1957 TO DATE)

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN 530-78-9 REGISTRY
CN Benzoic acid, 2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Anthranilic acid, N-(.alpha.,.alpha.,.alpha.-trifluoro-m-tolyl)- (6CI, 8CI)
OTHER NAMES:
CN 2-[3-(Trifluoromethyl)anilino]benzoic acid
CN 2-[[3-(Trifluoromethyl)phenyl]amino]benzoic acid
CN 3'-Trifluoromethyl-N-phenylanthranilic acid
CN 3'-Trifluoromethyldiphenylamine-2-carboxylic acid
CN Achless
CN Ansatin
CN ANT-1
CN Arlef
CN C.I. 440
CN CI 440
CN CN 27544
CN **Flufenamic acid**
CN Fluphenamic acid
CN Fullsafe
CN INF 1837
CN Meralen
CN Movilizin
CN N-(.alpha.,.alpha.,.alpha.-Trifluoro-m-tolyl)anthranilic acid
CN N-(m-Trifluoromethylphenyl)-2-aminobenzoic acid
CN N-[3-(Trifluoromethyl)phenyl]anthranilic acid
CN NSC 82699
CN Paraflu
CN Parlef
CN Parlif
CN Pinox
CN Plostene
CN Ristogen
CN Sastridex
CN Surika
CN Tecramine
FS 3D CONCORD
MF C14 H10 F3 N O2
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, DDFU, DRUGU, EMBASE, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, NIOSHTIC, PROMT, RTECS*, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)



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178
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32

275

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN 68630-75-1 REGISTRY
CN 1-9-Luteinizing hormone-releasing factor (swine), 6-[O-(1,1-dimethylethyl)-D-serine]-9-(N-ethyl-L-prolinamide)-, monoacetate (salt) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Luteinizing hormone-releasing factor (pig), 6-[O-(1,1-dimethylethyl)-D-serine]-9-(N-ethyl-L-prolinamide)-10-deglycinamide-, monoacetate (salt)
OTHER NAMES:
CN 1-9-Luteinizing hormone-releasing factor (pig), 6-[O-(1,1-dimethylethyl)-D-serine]-9-(N-ethyl-L-prolinamide)-, monoacetate (salt)
CN **Buserelin acetate**
CN Estomal
CN Suprafact
CN Suprecur
FS PROTEIN SEQUENCE; STEREOSEARCH
DR 131378-79-5
MF C60 H86 N16 O13 . C2 H4 O2
CI COM
LC STN Files: AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CBNB, CHEMCATS, CIN, DRUGPAT, EMBASE, IPA, MRCK*, PHAR, PROMT, RTECS*, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

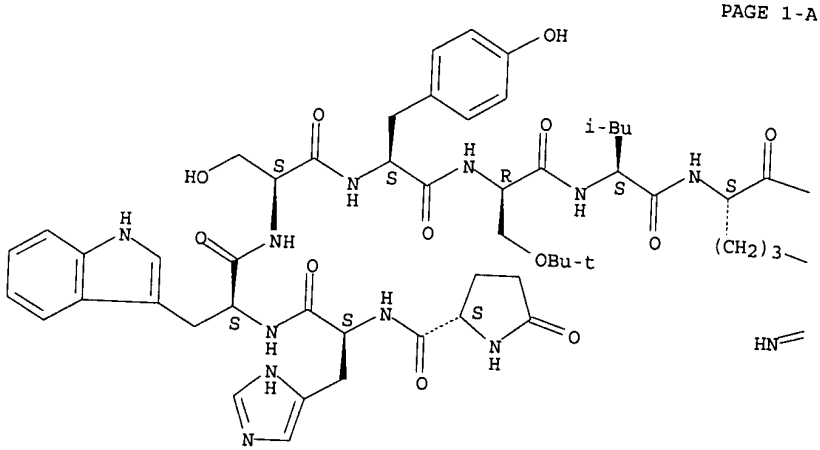
CM 1

CRN 57982-77-1
CMF C60 H86 N16 O13

RELATED SEQUENCES AVAILABLE WITH SEQLINK

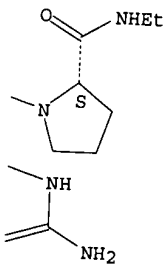
Absolute stereochemistry.

PAGE 1-A



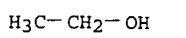
$C_{62}H_{88}N_{16}O_{15}$
90

PAGE 1-B



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Ethanol (9CI)
MF C2 H6 O
CI COM

cpds from PCT



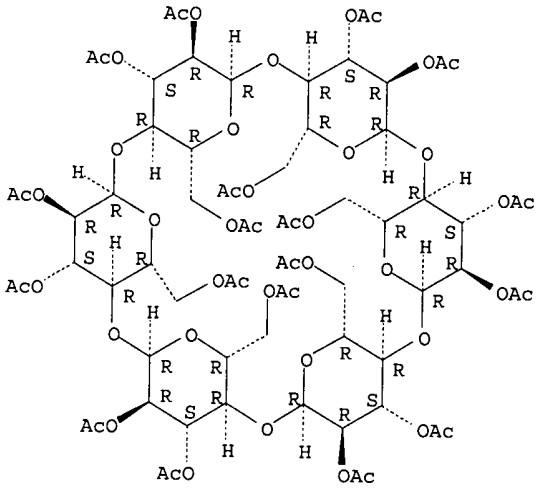
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):44

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prosta-10,13-dien-1-oic acid, 15-hydroxy-9-oxo-, (13E,15S)-, compd. with .alpha.-cyclodextrin octadecaacetate (10:1) (9CI)
MF C72 H96 O48 . 10 C20 H32 O4

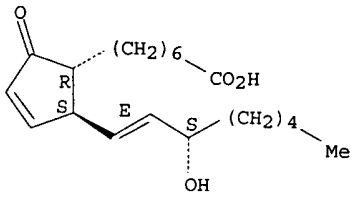
CM 1

Absolute stereochemistry.



CM 2

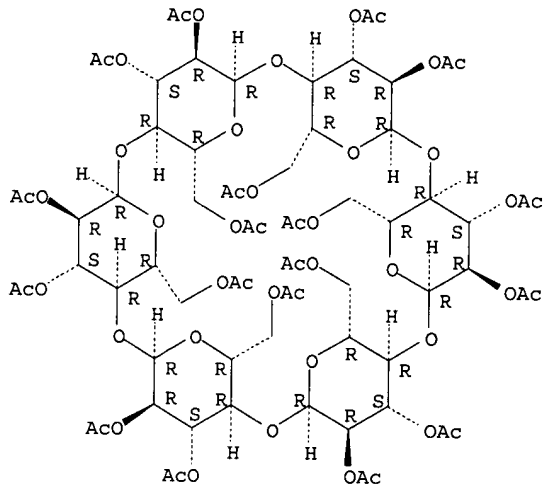
Absolute stereochemistry.
Double bond geometry as shown.



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prosta-10,13-dien-1-oic acid, 15-hydroxy-9-oxo-, (13E,15S)-, compd. with .alpha.-cyclodextrin octadecaacetate (3:1) (9CI)
MF C72 H96 O48 . 3 C20 H32 O4

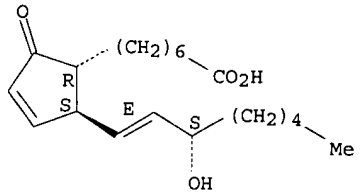
CM 1

Absolute stereochemistry.



CM 2

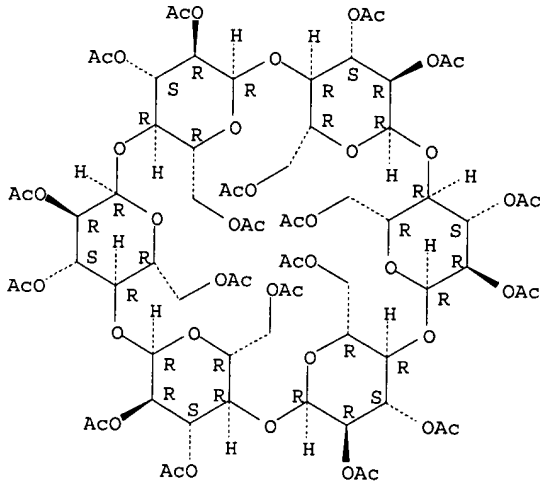
Absolute stereochemistry.
Double bond geometry as shown.



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prost-13-en-1-oic acid, 11,15-dihydroxy-9-oxo-, (11.alpha.,13E,15S)-, compd. with .alpha.-cyclodextrin octadecaacetate (5:1) (9CI)
MF C72 H96 O48 . 5 C20 H34 O5

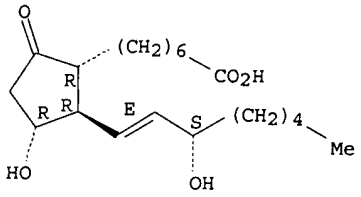
CM 1

Absolute stereochemistry.



CM 2

Absolute stereochemistry.
Double bond geometry as shown.

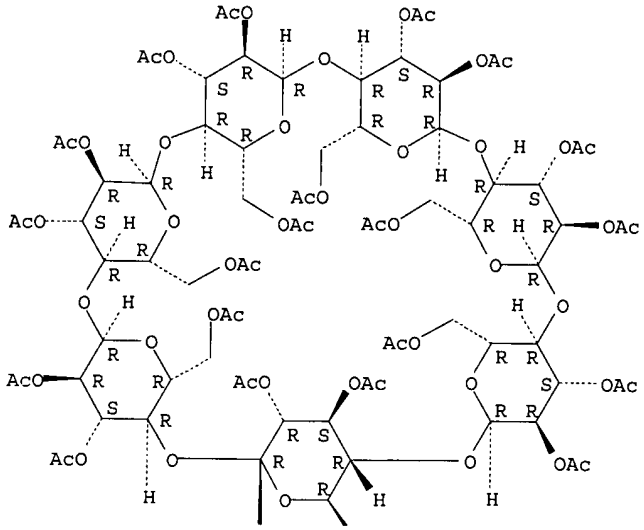


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN .beta.-Cyclodextrin, heneicosaacetate, compd. with 1,4:3,6-dianhydro-D-glucitol 5-nitrate (9CI)
MF C84 H112 O56 . x C6 H9 N O6

CM 1

Absolute stereochemistry.

PAGE 1-A



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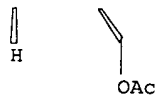

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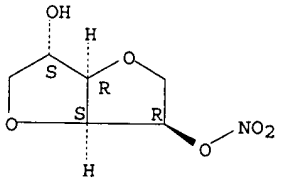
Absolute stereochemistry. Rotation (+).



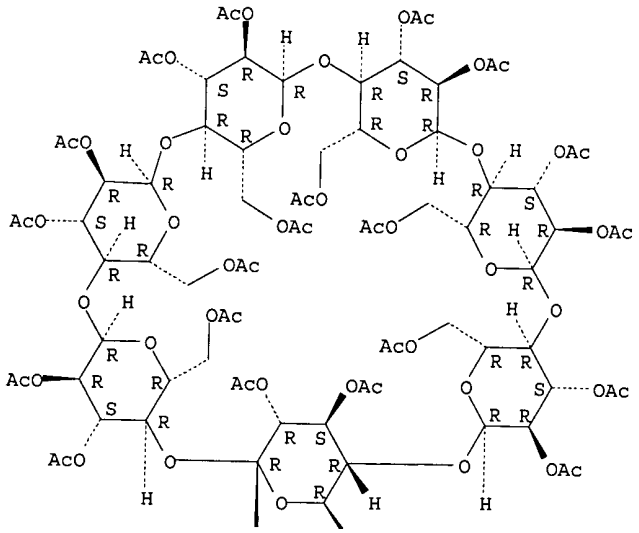
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN .beta.-Cyclodextrin, heneicosaacetate, compd. with 1,2,3-propanetriyl trinitrate (9CI)

MF C84 H112 O56 . x C3 H5 N3 O9

CM 1

Absolute stereochemistry.

PAGE 1-A



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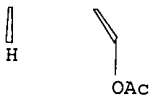

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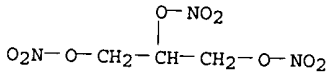
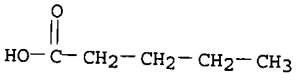


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Pentanoic acid, hydroxy-, polymer with hydroxybutanoic acid (9CI)
MF (C5 H10 O3 . C4 H8 O3)x
CI PMS

CM 1



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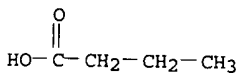
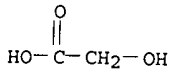


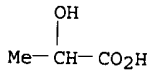
D1—OH

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Propanoic acid, 2-hydroxy-, polymer with hydroxyacetic acid (9CI)
MF (C3 H6 O3 . C2 H4 O3)x
CI PMS, COM

CM 1



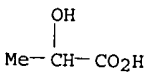
CM 2



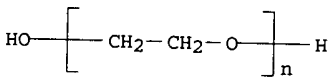
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Propanoic acid, 2-hydroxy-, homopolymer (9CI)
MF (C3 H6 O3)x
CI PMS, COM

RELATED POLYMERS AVAILABLE WITH POLYLINK

CM 1

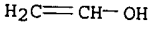


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Poly(oxy-1,2-ethanediyl), .alpha.-hydro-.omega.-hydroxy- (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C2 H4 O)n H2 O
CI PMS, COM

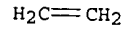


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Ethenol, polymer with ethene (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C2 H4 O . C2 H4)x
CI PMS, COM

CM 1

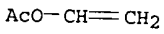


CM 2

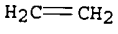


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Acetic acid ethenyl ester, polymer with ethene (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C4 H6 O2 . C2 H4)x
CI PMS, COM

CM 1

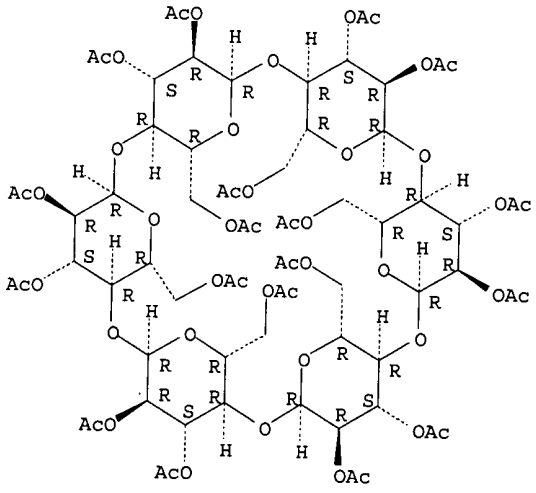


CM 2



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN .alpha.-Cyclodextrin, octadecaacetate (8CI, 9CI)
MF C72 H96 O48
CI COM

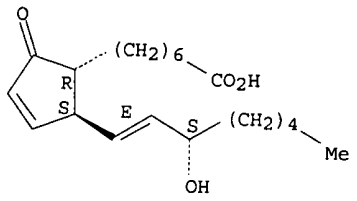
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prosta-10,13-dien-1-oic acid, 15-hydroxy-9-oxo-, (13E,15S)- (9CI)
MF C20 H32 O4
CI COM

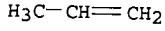
Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN 1-Propene, polymer with ethene (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C3 H6 . C2 H4)x
CI PMS, COM

CM 1



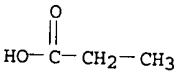
CM 2

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Cellulose, propanoate (9CI)
MF C3 H6 O2 . x Unspecified
CI COM

CM 1

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

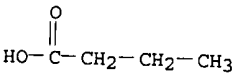


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Cellulose, acetate butanoate (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF C4 H8 O2 . x C2 H4 O2 . x Unspecified
CI COM

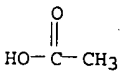
CM 1

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2



CM 3

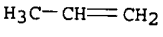


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Cellulose (8CI, 9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF Unspecified
CI PMS, COM, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

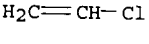
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN 1-Propene, homopolymer (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C3 H6)x
CI PMS, COM

CM 1



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Ethene, chloro-, homopolymer (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C2 H3 Cl)x
CI PMS, COM

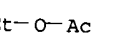
CM 1



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Water (8CI, 9CI)
MF H2 O
CI COM

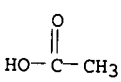
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

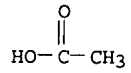
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Acetic acid ethyl ester (8CI, 9CI)
MF C4 H8 O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

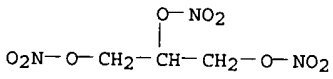
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Acetic acid (7CI, 8CI, 9CI)
MF C2 H4 O2
CI COM





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN 1,2,3-Propanetriol, trinitrate (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF C3 H5 N3 O9
CI COM

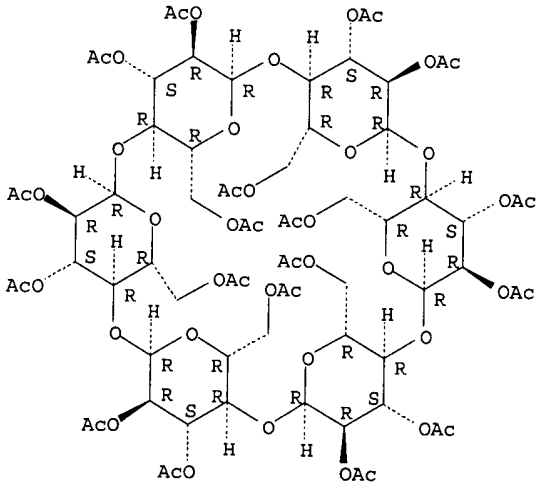


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prosta-10,13-dien-1-oic acid, 15-hydroxy-9-oxo-, (13E,15S)-, compd. with .alpha.-cyclodextrin octadecaacetate (5:1) (9CI)
MF C72 H96 O48 . 5 C20 H32 O4

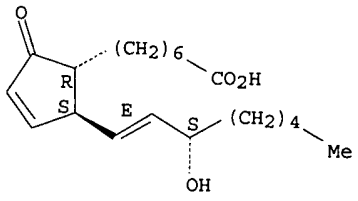
CM 1

Absolute stereochemistry.



CM 2

Absolute stereochemistry.
Double bond geometry as shown.

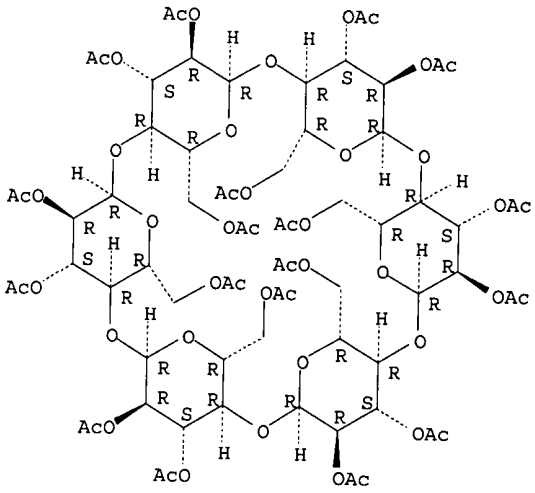


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prost-13-en-1-oic acid, 11,15-dihydroxy-9-oxo-, (11.alpha.,13E,15S)-,

compd. with .alpha.-cyclodextrin octadecaacetate (10:1) (9CI)
MF C72 H96 O48 . 10 C20 H34 O5

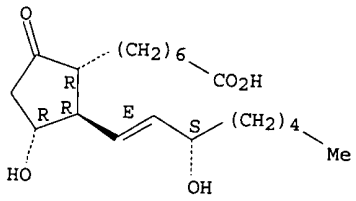
CM 1

Absolute stereochemistry.



CM 2

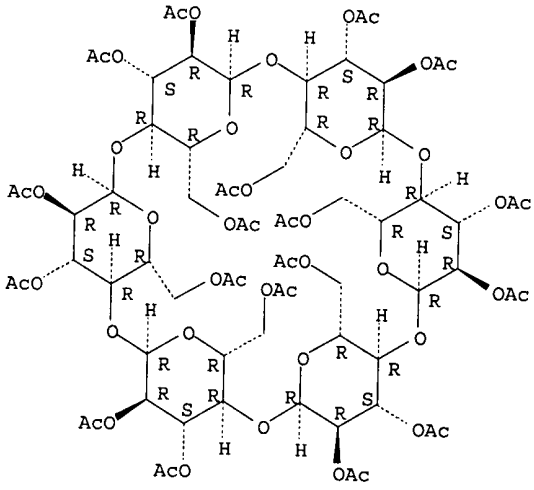
Absolute stereochemistry.
Double bond geometry as shown.



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prost-13-en-1-oic acid, 11,15-dihydroxy-9-oxo-, (11.alpha.,13E,15S)-,
compd. with .alpha.-cyclodextrin octadecaacetate (3:1) (9CI)
MF C72 H96 O48 . 3 C20 H34 O5

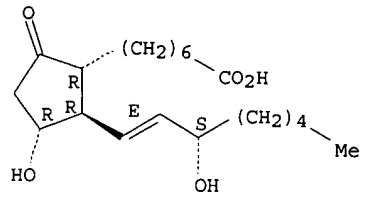
CM 1

Absolute stereochemistry.



CM 2

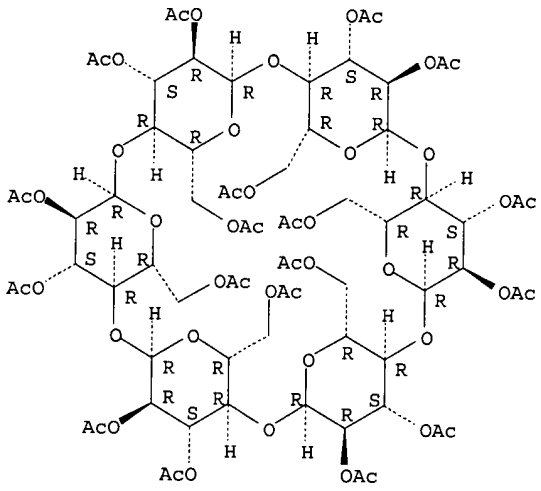
Absolute stereochemistry.
Double bond geometry as shown.



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN .alpha.-Cyclodextrin, octadecaacetate, compd. with 1,4:3,6-dianhydro-D-glucitol 5-nitrate (9CI)
MF C72 H96 O48 . x C6 H9 N O6

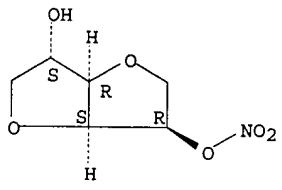
CM 1

Absolute stereochemistry.



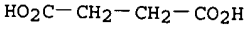
CM 2

Absolute stereochemistry. Rotation (+).

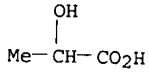


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Butanedioic acid, polymer with 2-hydroxypropanoic acid (9CI)
MF (C4 H6 O4 . C3 H6 O3)x
CI PMS

CM 1

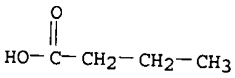


CM 2



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Butanoic acid, hydroxy-, homopolymer (9CI)
MF (C4 H8 O3)x
CI PMS

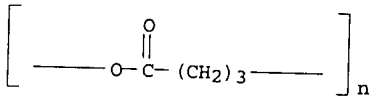
CM 1



D1—OH

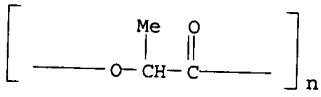
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Poly[oxy(1-oxo-1,4-butanediyl)] (9CI)
MF (C4 H6 O2)n
CI PMS

RELATED POLYMERS AVAILABLE WITH POLYLINK



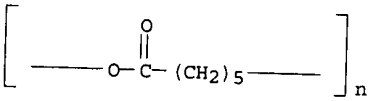
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] (8CI, 9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C3 H4 O2)n
CI PMS, COM

RELATED POLYMERS AVAILABLE WITH POLYLINK



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Poly[oxy(1-oxo-1,6-hexanediyl)] (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C6 H10 O2)n
CI PMS, COM

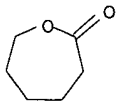
RELATED POLYMERS AVAILABLE WITH POLYLINK



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN 2-Oxepanone, homopolymer (9CI)
MF (C6 H10 O2)x
CI PMS, COM

RELATED POLYMERS AVAILABLE WITH POLYLINK

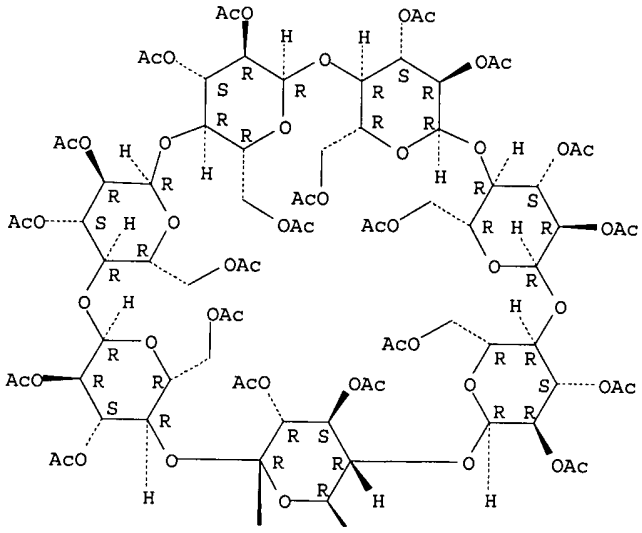
CM 1



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN .beta.-Cyclodextrin, heneicosaacetate (8CI, 9CI)
MF C84 H112 O56
CI COM

Absolute stereochemistry.

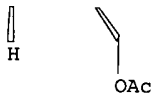
PAGE 1-A



MW = 2016

1008
112
896

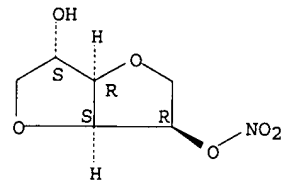
PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN D-Glucitol, 1,4:3,6-dianhydro-, 5-nitrate (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF C6 H9 N O6
CI COM

Absolute stereochemistry. Rotation (+).



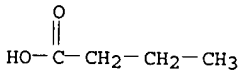
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Cellulose, butanoate (9CI)
MF C4 H8 O2 . x Unspecified
CI COM

CM 1

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Starch (8CI, 9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF Unspecified
CI COM, MAN

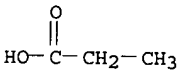
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Cellulose, acetate propanoate (9CI)
MF C3 H6 O2 . x C2 H4 O2 . x Unspecified
CI COM

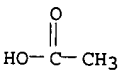
CM 1

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2



CM 3

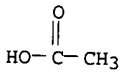


L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Cellulose, acetate (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF C2 H4 O2 . x Unspecified
CI COM

CM 1

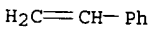
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2



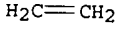
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Benzene, ethenyl-, homopolymer (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C8 H8)x
CI PMS, COM

CM 1



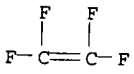
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Ethene, homopolymer (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C2 H4)x
CI PMS, COM

CM 1



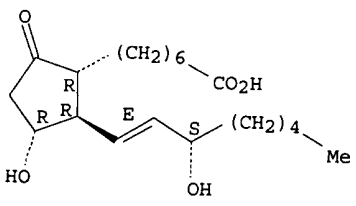
L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Ethene, tetrafluoro-, homopolymer (9CI)
ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT
MF (C2 F4)x
CI PMS, COM

CM 1



L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Prost-13-en-1-oic acid, 11,15-dihydroxy-9-oxo-, (11.alpha.,13E,15S)- (9CI)
MF C20 H34 O5
CI COM

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 45 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Acetic acid, methyl ester (6CI, 8CI, 9CI)